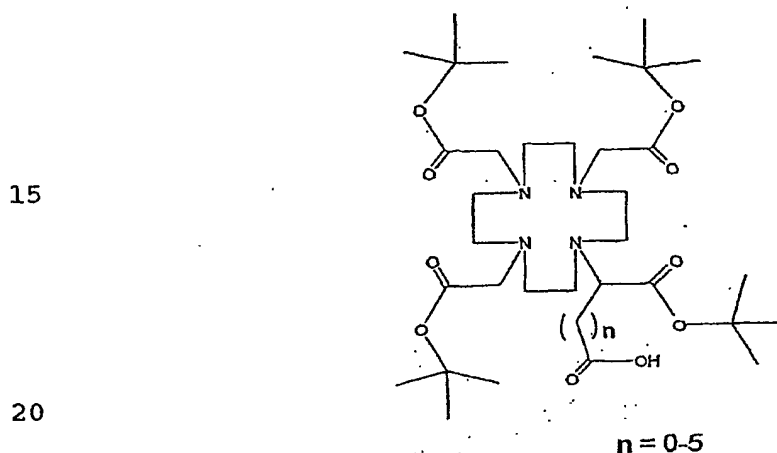


## CLAIMS

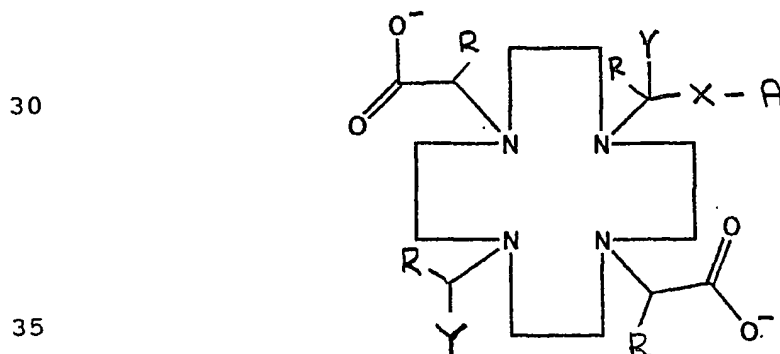
1. Polyazamacrocyclic compounds for radiometal labeling, comprising an  $N_n$  system, wherein  $n$  is 4, 5 or 6, 5 with varying ring size, and wherein at least one of the  $N$  atoms is substituted with a free carboxylate group for coupling to an amino function in a bioactive effector molecule, while all  $N$  atoms carry a protected sidechain.

2. Compound as claimed in claim 1 having the  
10 general formula:



3. Compound as claimed in claim 1 or 2, which compound is 1-(1-carboxy-3-carbotertbutoxypropyl)-  
4,7,10(carbotertbutoxymethyl)-1,4,7,10-  
25 tetraazacyclododecane (DOTAGA(tBu)4).

4. Chelating compounds for labeling bioactive molecules with a radiometal, having the general formula:



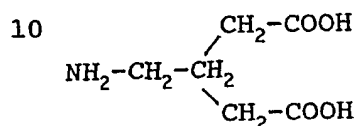
in which:

both  $Y$  groups may be positioned either trans as shown or cis;

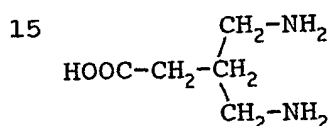
A is an effector molecule, such as a peptide, in particular octreotide, CCK, substance P, gastrin, a protein, in particular an antibody or enzyme, sugars or radiosensitizing agents, like doxorubicin;

5 R is a hydrogen, a C<sub>1</sub>-C<sub>3</sub> alkyl or a alcohol;

X is a spacer, in particular (CH<sub>2</sub>)<sub>n</sub>-X', in which n is 1-10 and X' is COOH, NH<sub>2</sub>, SH, OH or O-halogen, in which halogen is in particular Br, I or Cl or a molecule of the formula



or of the formula



Y is COO<sup>-</sup>, CH<sub>2</sub>CONH<sub>2</sub>, CH<sub>2</sub>CH<sub>2</sub>OH, optionally complexed with a radiometal.

20 5. Compounds as claimed in claim 4, wherein R is hydrogen, n is 1, X' is COOH, Y is COO<sup>-</sup> and A is as defined in claim 3.

6. Compound as claimed in claim 5, wherein R is hydrogen, n is 1, X' is COOH, Y is COO<sup>-</sup> and A is octreotide or octreotate.

7. Compound as claimed in claim 4, wherein R is COOH, n is 1, X' is COOH, Y is COO<sup>-</sup> and A is as defined in claim 3.

8. Compound as claimed in claim 7, wherein R is COOH, n is 1, X' is COOH, Y is COO<sup>-</sup> and A is octreotide or octreotate.

9. Compounds as claimed in claim 4, selected from the group consisting of DOTAtyr<sup>3</sup>octreotide, DOTAtyr<sup>3</sup>octreotate, DOTA3tyr<sup>3</sup>octreotide, DOTA3tyr<sup>3</sup>octreotate, DOTAt3tyr<sup>3</sup>octreotide, DOTAta.13tyr<sup>3</sup>octreotate.

10. Use of compounds as claimed in claims 1-3  
for the preparation of compounds as claimed in claims 4-  
9.

11. Method for the preparation of radiometal  
5 labeled bioactive molecules, comprising the steps of:

a) synthesizing compounds as claimed in claims  
1-3 having protected side chains on the N atoms and a  
free carboxylate group;

b) coupling a bioactive molecule to the free  
10 carboxylate group;

c) deprotecting the protected side chains; and

d) labeling the chelator structure thus  
obtained with a desired radiometal.

12. Compounds as claimed in claims 4-9 labeled  
15 with a radiometal for use in diagnosis and therapy.

13. Use of compounds as claimed in claims 4-9  
labeled with a radiometal for the preparation of a  
diagnostic or therapeutical composition for treatment of  
various diseases.

20 14. Use as claimed in claim 13, wherein the  
radiometal label is  $^{90}\text{Y}$ .